

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
13 November 2003 (13.11.2003)

PCT

(10) International Publication Number  
WO 03/093412 A2

- (51) International Patent Classification<sup>7</sup>: C12N
- (21) International Application Number: PCT/US03/12748
- (22) International Filing Date: 24 April 2003 (24.04.2003)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:  
60/377,124 30 April 2002 (30.04.2002) US
- (71) Applicant (for all designated States except US): EMORY UNIVERSITY [US/US]; Office of Technology Transfer, 1784 North Decatur Road, 1st Floor, Suite 130, North Decatur Building, Atlanta, GA 30322 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): GOODMAN, Mark, M. [US/US]; 7870 Fawndale Way, Atlanta, GA 30350 (US). McCONATHY, Jonathan [US/US]; 972 Greenbriar Place, Decatur, GA 30033 (US).
- (74) Agents: YOO-WARREN, Heeja et al.; Greenlee, Winner and Sullivan P.C., 5370 Manhattan Circle, Suite 201, Boulder, CO 80303 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Published:  
— without international search report and to be republished upon receipt of that report
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

WO 03/093412 A2

(54) Title: TUMOR IMAGING COMPOUNDS

(57) Abstract: The invention provides novel amino acid compounds of use in detecting and evaluating brain and body tumors. These compounds combine the advantageous properties of  $\alpha$ -aminoisobutyric acid (AIB) analogs namely, their rapid uptake and prolonged retention in tumors with the properties of halogen substituents, including certain useful halogen isotopes such as fluorine-18, iodine-123, iodine-124, iodine-125, iodine-131, bromine-75, bromine-76, bromine-77, bromine-82, astatine-210, astatine-211, and other astatine isotopes. In addition the compounds can be labeled with technetium and rhenium isotopes using known chelation complexes. The amino acid compounds disclosed herein have a high specificity for target sites when administered to a subject in vivo. Preferred amino acid compounds include [ $^{18}\text{F}$ ] FAMP, ([ $^{18}\text{F}$ ]5a) and [ $^{18}\text{F}$ ]N-MeFAMP, ([ $^{18}\text{F}$ ]5b). The invention further features pharmaceutical compositions comprised of an  $\alpha$ -amino acid moiety attached to either a four, five or a six member carbon-chain ring. The labeled amino acid compounds are useful as imaging agents in detecting and/or monitoring tumors in a subject by Positron Emission Tomography (PET) and Single Photon Emission Computer Tomography (SPECT).